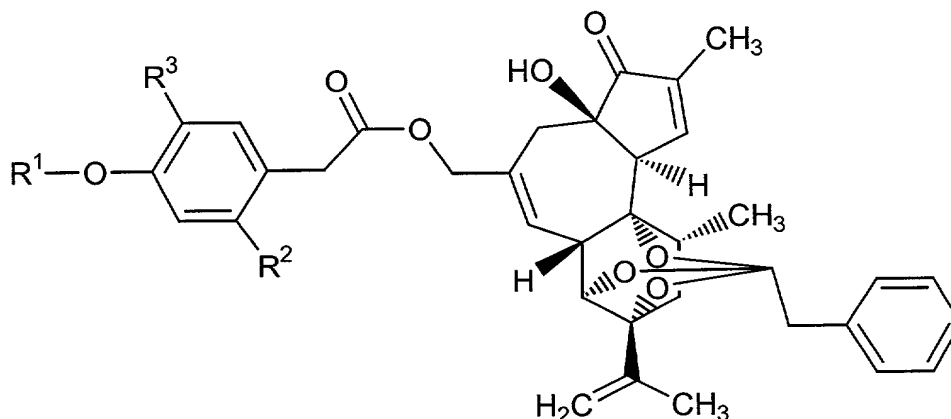


What is claimed is:

1. A method for preparing a resiniferatoxin derivative compound of Formula (I):



Formula (I)

5 wherein

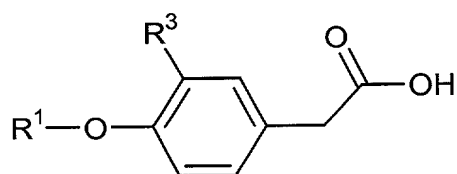
R^1 is a substituent selected from the group consisting of hydrogen,
 C_{1-4} alkylcarbonyl and formyl;

R^2 is iodine; and,

R^3 is a substituent selected from the group consisting of C_{1-4} alkoxy and
 10 hydroxy;

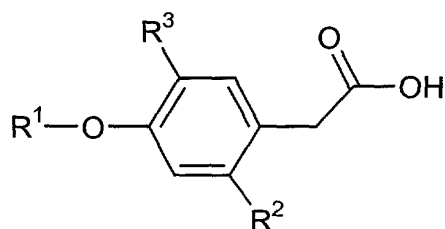
comprising,

iodinating the ortho position on the phenyl ring of a homovanillic acid derivative
 compound of Formula (II);



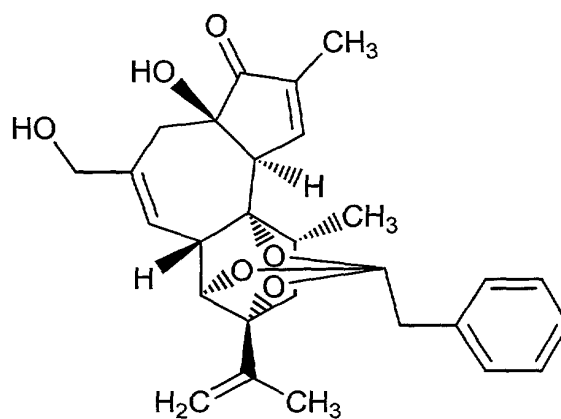
Formula (II)

to form an intermediate compound of Formula (III); and,



Formula (III)

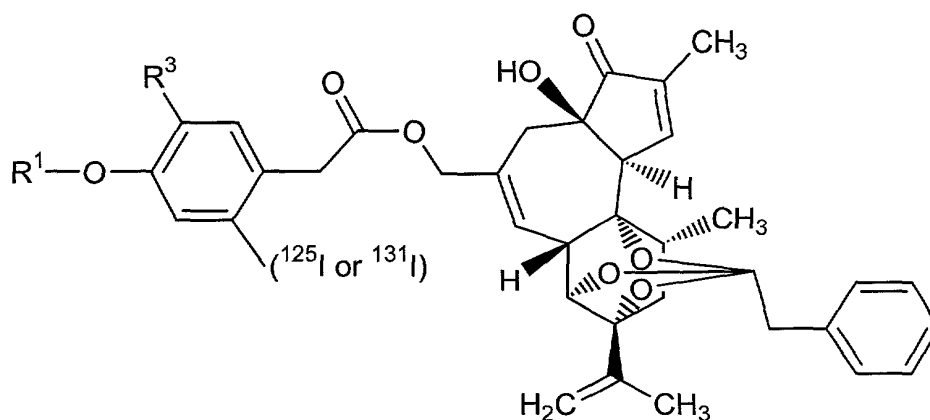
coupling the intermediate compound of Formula (III) with a resiniferonal orthophenylacetate alcohol compound of Formula (IV);



Formula (IV)

to form the compound of Formula (I).

- 5 2. The method of claim 1 wherein R¹ is acetyl and R³ is methoxy.
3. The method of claim 1 wherein R² is ¹²⁷Iodine.
4. The method of claim 1 wherein R² is selected from the group consisting
10 of ¹²⁵Iodine and ¹³¹Iodine.
5. A method for preparing a labeled resiniferatoxin derivative compound of
 Formula (V):



Formula (V)

wherein

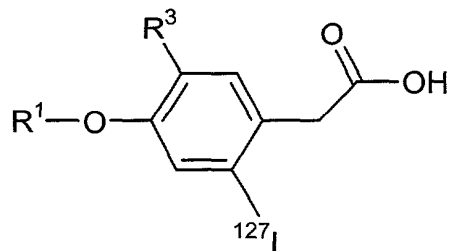
R¹ is a substituent selected from the group consisting of hydrogen,

C₁₋₄alkylcarbonyl and formyl; and,

R³ is C₁₋₄alkoxy;

5 comprising,

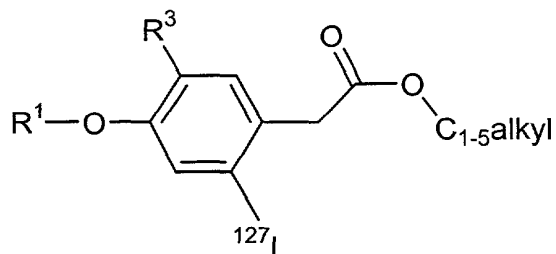
protecting the carboxylic acid of an intermediate compound of Formula (VI);



Formula (VI)

wherein the hydroxyl group of the compound of Formula (VI) is esterified with

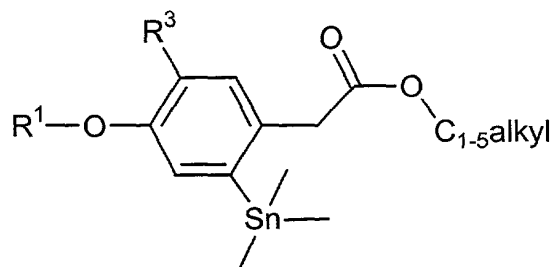
C₁₋₅ alkyl to form an esterified intermediate compound of Formula (VII);



Formula (VII)

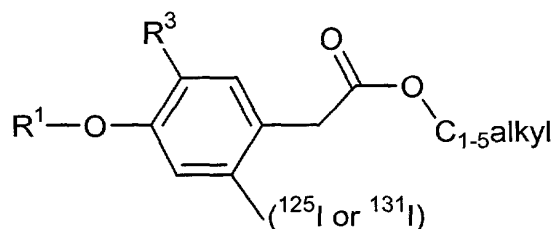
stannylating the compound of Formula (VII) to form a stannylated intermediate

10 compound of Formula (VIII);



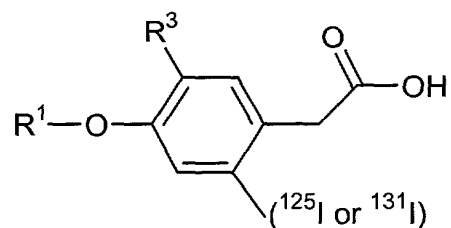
Formula (VIII)

iodinating the compound of Formula (VIII) to form a labeled intermediate compound of Formula (IX);



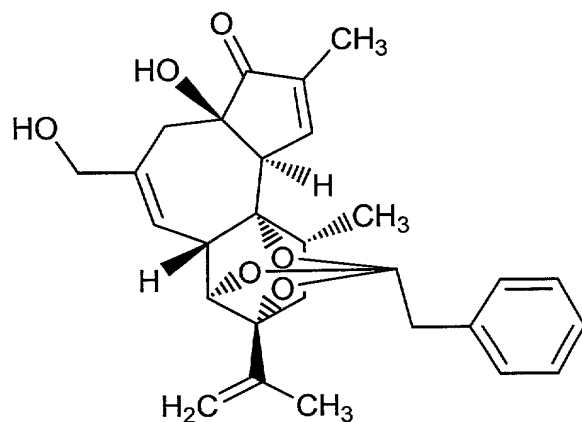
Formula (IX)

deprotecting the compound of Formula (IX) to form a labeled intermediate compound of Formula (X); and,



Formula (X)

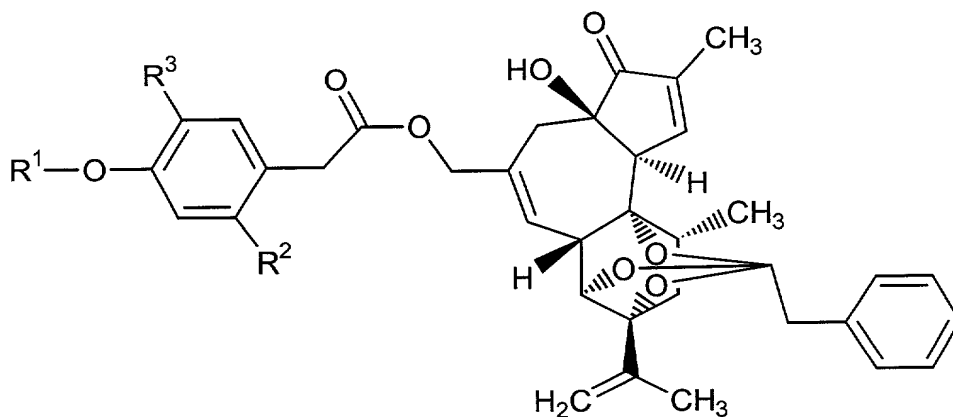
- 5 coupling the labeled intermediate compound of Formula (X) with a resiniferonal orthophenylacetate alcohol compound of Formula (IV);



Formula (IV)

to form the compound of Formula (V).

6. The method of claim 5 wherein C₁₋₅alkyl is selected from the group consisting of *i*-propyl, *i*-butyl and *t*-butyl.
7. The method of claim 6 wherein C₁₋₅alkyl is *t*-butyl, R¹ is acetyl and R³ is methoxy.
8. A resiniferatoxin derivative compound of Formula (I)

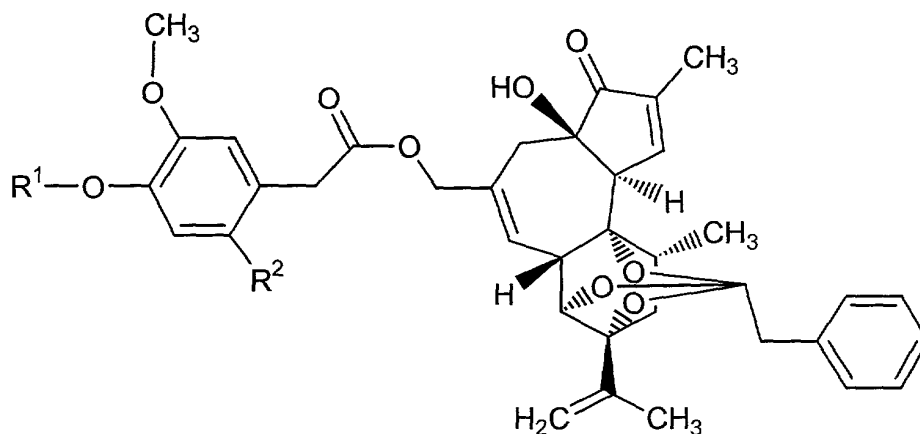


Formula (I)

- 10 wherein
 - R¹ is a substituent selected from the group consisting of hydrogen, C₁₋₄alkylcarbonyl and formyl;
 - R² is iodine; and,
 - R³ is a substituent selected from the group consisting of C₁₋₄alkoxy and

hydroxy.

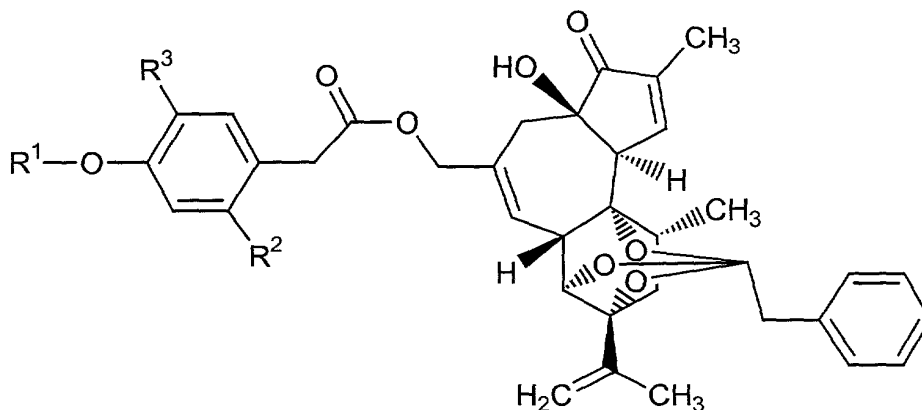
9. The compound of claim 8 wherein R¹ is a substituent selected from the group consisting of hydrogen, formyl, acetyl, ethylcarbonyl and propylcarbonyl.
10. The compound of claim 9 wherein R¹ is a substituent selected from the group consisting of hydrogen, formyl and acetyl.
11. The compound of claim 10 wherein R¹ is a substituent selected from the group consisting of hydrogen and acetyl.
12. The compound of claim 8 wherein R² is a substituent selected from the group consisting of ¹²⁵I, ¹²⁷I and ¹³¹I.
13. The compound of claim 12 wherein R² is ¹²⁷I.
14. The compound of claim 12 wherein R² is ¹²⁵I.
15. The compound of claim 8 wherein R³ is a substituent selected from methoxy, ethoxy, propoxy and butoxy.
16. The compound of claim 15 wherein R³ is methoxy.
17. The compound of claim 8 selected from the group consisting of those of the formula:



wherein R^1 and R^2 are selected from

R^1	R^2
$C(O)CH_3$	I;
H	I;
$C(O)CH_3$	125 iodine;
H	125 iodine;
$C(O)CH_3$	131 iodine; or,
H	131 iodine.

18. A method for use of a resiniferatoxin derivative compound of Formula (I)



Formula (I)

wherein

5 R^1 is a substituent selected from the group consisting of hydrogen,

C₁₋₄alkylcarbonyl and formyl;

R² is iodine; and,

R³ is a substituent selected from the group consisting of C₁₋₄alkoxy and hydroxy;

- 5 comprising incubating said compound with a membrane at about 37°C for about 60 minutes.

19. The method of claim 18 wherein the membrane is selected from the group consisting of a cell membrane and a tissue membrane.

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